

### REMARKS

Claims 1-36 were pending in the instant application. Claims 1-15 and 27-35 have been cancelled without prejudice, claims 16 and 20 have been amended, and claims 37 and 38 have been added. Accordingly, claims 16-26, and 36-38 will be pending in the application upon entry of the amendments presented herein.

Claim 16 has been amended to correct a typographical error in the formula as well as to recite more fully and distinctly the invention. Support for the amendment to claim 16 can be found throughout the specification and at least, for example, in claims 1, 9, 10, 12, and 16, as originally filed. Claims 16 and 20 have been amended to delete non-elected subject matter. New claims 37 and 38 are directed to the compound corresponding to the elected species. Support for the addition of claims 37 and 48 can be found at least, for example, in the specification in Example 131 on page 84, lines 11-26, and in claims 16 and 36 as originally filed. No new matter has been added.

Attached hereto as Appendix A is a marked-up version of the changes made to the specification and the claims by the current amendments. Appendix A is captioned **"Version with markings to show changes made."** Also attached hereto as Appendix B is a complete set of the claims that will be pending upon entry of the amendments presented herein.

Amendment and cancellation of the claims are not to be construed as an acquiescence to any of the objections/rejections set forth in the instant Office Action, and were done solely to expedite prosecution of the application. Applicants reserve the right to pursue the claims as originally filed, or similar claims, in this or one or more subsequent patent applications.

### ***Election/Restriction***

Claims 1-15 and 27-35 have been withdrawn from consideration as directed to non-elected subject matter. Inasmuch as the requirement for restriction/election has been made final, claims 1-15 and 27-35 have been cancelled without prejudice. Applicants hereby reserve the right to pursue the non-elected subject matter of the cancelled claims in one or more divisional applications.

***Improper Markush Grouping***

Claims 16-26 and 36 are rejected as being an improper Markush grouping in that the claims read on non-elected subject matter. With reference to the statement that "the Markush groups represented by the term where Y is O and Y is S", Applicants note that Y is -S-, -SO- or -SO<sub>2</sub>- was elected by Applicants and, therefore, submit that the claims properly read on Y is S. Accordingly, claims 16 and 20 have been amended to delete non-elected subject matter; *i.e.*, Y is O. Therefore, Applicants submit that the rejection of Improper Markush Grouping no longer applies. Applicants respectively request withdrawal of the rejection and favorable reconsideration.

**Claim Rejections – 35 U.S.C. § 112**

***Rejections of Claims 16-26 and 36 under 35 U.S.C. § 112, first paragraph***

Claims 16-26 and 36 are rejected under 35 U.S.C. § 112, first paragraph, for lack of enablement. In particular, the Office Action sets forth the allegation that the "specification, while being enabling for certain compounds wherein Q is CH, does not reasonably provide enablement for making compounds wherein Q is N." Applicants respectfully traverse this rejection.

The Examiner's attention is invited to page 62, line 26 to page 63 line 30 (full page), wherein the specification describes the general process for preparing the common core structures. The specification further describes particular compounds of the invention, wherein Q is N in Examples 295-297 on pages 142-144. For instance, Example 295 describes the synthesis of 2-[(pyrrol-2-yl)methylene]-2H-pyrido[3,2-b][1,4]oxazin-3(4H)-one. This example is substantially similar to the protocol followed in at least Examples 1 and 13, wherein Q is CH; *i.e.*, the benzothiazinone or pyridoxazinone is incubated at high temperature in DMF in the presence of a carboxaldehyde and sodium methoxide for extended periods of time to produce the desired compound. This substantial similarity is in line with the recognition in the art that in general, the synthetic chemistry as between the benzo compounds and the pyridine compounds is very similar.

With reference to the "Wands factors", the Office Action states on page 4 that there is a

“lack of direction provided in the specification regarding ... the general unpredictability of chemical reactions, it would take an undue amount of experimentation for one skilled in [the] art to make the claimed compounds and therefore practice the invention.”

Applicants submit that the level of skill in the art in the area of benzo/pyridothiazinone and -oxazinone chemistry is quite high and relatively predictable. Applicants further submit that one skilled in the art would understand that the chemistry provided for the compounds of the invention wherein Q is CH is generally applicable to the analogous compounds, wherein Q is N, as demonstrated in the instant application. In view of the state of the art at the time the application was filed, the high level of skill in the art, and the relative predictability of the chemistry, Applicants assert that one of ordinary skill in the art would be able to use the teachings found in the specification, as summarized above, to make and use the compounds of the invention without undue experimentation.

Applicants add that the disclosure of invention as set forth in their application must be given the presumption of correctness and operativeness by the PTO, and the only relevant concern of the PTO under the circumstances should concern the truth of the assertions contained in the application. *In re Marzocchi*, 439 F.2d 220, 169 U.S.P.Q. 367 (C.C.P.A. 1967); see also, *In re Bowen*, 492 F.2d 859, 181 U.S.P.Q. 48 (C.C.P.A. 1974). The Office Action proffers nothing but mere conclusions to controvert the truth of Applicants' assertions in the instant application.

Furthermore, Applicants again point out that the instant application provides at least three working examples (Examples 295-297) with regard to compounds wherein Q is N. Nevertheless, the Office Action in effect would impose an additional requirement for enablement, a requirement not found in the statute; *i.e.*, a working example for every claimed embodiment. However, Applicants assert that a working example is not a requirement for enablement. See, *Shanks v. Scheffer*, 204 U.S.P.Q. 781, 783 (Pat. Bd. Inter. 1979). Moreover, "there is no magical relation between the number of representative examples and the breadth of the claims". *In re Borkowski and VanVenroy*, 164 U.S.P.Q. 642, 646 (C.C.P.A. 1970). Section 112 only requires that the

"specification contain a written description of the invention, and the manner and process of making and using it".

The key question then, is whether it would require undue experimentation to make and use the claimed compounds. Enablement is not precluded by the necessity for some experimentation, and a considerable amount of experimentation is permitted. See, *In re Wands*, 8 U.S.P.Q. 2d 1400, 1404 (Fed. Cir. 1988). Based on the teachings of the specification as enumerated and cited above and the state of the art at the time the application was filed, Applicants submit that one skilled in the art would be able to make and use the claimed compounds without undue experimentation.

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The Office Action also indicates that the scope of "substituted and unsubstituted" in the claims encompasses all functional moieties regardless of complexity. Applicants respectfully traverse this rejection.

Applicants assert that the term "substituted and unsubstituted" is adequately described in the specification at least on pages 11 and 12. Moreover, the terms aliphatic groups and aromatic groups are defined in lines 3-22 on page 11. These definitions are followed by the description of "suitable substituents," which may be used to substitute the compounds of the present invention. Furthermore, this description is followed by a description of "other suitable substituents" on page 12, beginning on line 3, which may also be used to substitute compounds of the present invention. Applicants submit that the description of possible substituents in the specification obviates the statement made by the Office Action that the claims read on all functional moieties.

The Office Action further indicates on page 4 that "only compounds wherein R is pyrrole, indole, pyrrolo[2,3-b]pyridine have been made." Applicants invite the Examiner's attention to page 141, where the examples disclose R as phenyl, substituted phenyl, pyrazole, triazole, and indazole. Page 127 indicates that R may be imidazole, while page 126 demonstrates that R may be pyridine. In the specification on pages 123-124, 106, 95, and 92, R may be thiophene, thiazole, furan, and benzoimidazole, respectively. It would therefore be apparent to one skilled in the art that R, in fact, is comprised of more than those functional groups indicated in the above quotation from the Office Action.

For the foregoing reasons, Applicants submit that it would not require undue experimentation to make and use compounds, and therefore respectfully request reconsideration and withdrawal of the rejection under 35 U.S.C. § 112, first paragraph.

***Rejections of Claims 16-21 under 35 U.S.C. § 112, Second paragraph***

Claims 16-21 are rejected under 35 U.S.C. § 112, second paragraph, as being indefinite for failing to particularly point out and distinctly claim the subject matter which the Applicants regard as the invention. The Office Action sets forth the allegation that the terms “substituted and unsubstituted” are unclear as to the nature of substituent(s) intended.

Applicants respectfully traverse this rejection. Applicants refer the Examiner to the arguments made above with regard to the rejection of the terms “substituted and unsubstituted” under 35 U.S.C. § 112, first paragraph, and reiterate those arguments here. Furthermore, one skilled in the art would understand that certain substitutions would be limited by steric congestion and electronic compatibility of the proximal substituents. Determinations of this nature would not require undue experimentation and would be apparent, in many cases, by simple review of the structure of the compound.

The Office Action also states that the use of “heteroaryl” for R is unclear to the array of heteroatoms, size of the rings, as well as the nature of atoms as ring members. Applicants respectfully traverse this rejection.

Applicants assert that the term “heteroaryl” is adequately described in the specification on page 11 as a species of the term “aromatic groups.” The Examiner’s attention is invited to page 11 lines 9-17. Heteroaryl ring systems include:

pyridines, thiophenes, furans, pyrroles, imidazoles, pyrazoles, triazoles, pyrimidines, pyrazines, pyridazines, oxazoles, thiazoles, isoxazoles, isothiazoles, tetrazoles, oxadiazoles, or thiadiazoles) and heteroaryl ring systems in which a carbocyclic aromatic ring, carbocyclic non-aromatic ring or heteroaryl ring is fused to one or more other heteroaryl rings (e.g. benzimidazole, indole, tetrahydroindole, azaindole, indazole, quinoline, imidazopyridine, purine, pyrrolo[2,3-d]pyrimidine, pyrazolo[3,4-d]pyrimidine) and their N-oxides.

Based on this description, one of ordinary skill in the art would clearly understand what is meant by the term “heteroaryl” as used in the claims. Furthermore, the

substituents that are suitable for use in the compounds of the invention (*e.g.*, to substitute the heteroaryl moiety) are described on pages 11 and 12 beginning at line 23, as set forth above in response to the rejection under 35 U.S.C. § 112, first paragraph.

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In addition, the Office Action indicates that it is not clear whether the recitation of compounds “and physiological acceptable salts...” in claim 16 is drawn to an instantly claimed compound or to a mixture. Applicants have amended claim 16 to incorporate the Examiner’s helpful suggestion of replacing the word “and” with “or.”

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The Office Action indicates that claims 16 is vague and indefinite in that the metes and bounds of “a substituent” for  $R_2$  is unknown. Applicants respectfully traverse this rejection and submit that “a substituent” for  $R_2$  is adequately described in the specification on pages 11 and 12. “Suitable substituents” of the invention are described beginning on page 11, line 23. Furthermore, this is followed by a description of “other suitable substituents” on page 12, beginning on line 3, which may also be used to substitute compounds of the present invention. Therefore, Applicants submit one skilled in the art would understand the metes and bounds of this term, and request withdrawal of the rejection.

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Applicants have amended claim 16 to correct a typographical error in the formula depicted. The variable “n” should appear as it appears in method claims 1, 9, 10, and 12, as originally filed.

Applicants submit that the amendments and the arguments present herein have obviated the rejection of claims 16-21 under 35 U.S.C. § 112, second paragraph, and therefore respectfully request reconsideration and withdrawal of the rejection.

#### **Claim Rejection under 35 U.S.C. §102(b)**

##### ***Rejection of Claims 16 and 36 under 35 U.S.C. §102(b)***

Claims 16 and 36 are rejected under 35 U.S.C. §102(b) as anticipated by: Varano *et al.* Chem Abstract 131: 44782; Kawashima *et al.* W/O 95/13269; Kawashima *et al.*

W/O 94/05647; Sakuta *et al.* Chem Abstract 119: 63033; Maki *et al.* US Patent No. 4,490,292; Krapcho *et al.* US Patent No. 4,078,062; Anzai *et al.* Chem Abstract 82: 140162; and Gezginici *et al.* (Farmaco, 1997).

Applicants submit that the rejection no longer applies to claims 16 and 36 as amended herein. Therefore, Applicants respectfully request reconsideration and withdrawal of the rejection.

***Rejection of Claim 16 under 35 U.S.C. §102(b)***

Claim 16 is rejected under 35 U.S.C. §102(b) as anticipated by the compounds McCarthy *et al.* Chem Abstract 110: 38945; Hamari *et al.* Chem Abstract 103: 142032; and Shah *et al.* Chem Abstract 78: 124527.

Applicants submit that the rejection no longer applies to claim 16 as amended herein. Therefore, Applicants respectfully request reconsideration and withdrawal of the rejection.

***Rejection of Claims 16, 17 and 36 under 35 U.S.C. §102(b)***

Claims 16, 17 and 36 are rejected under 35 U.S.C. §102(b) as anticipated by the compounds of Krapcho *et al.* (*J. Med. Chem.*, 1973)

Applicants submit that the rejection no longer applies to claims 16, 17 and 36 as amended herein. Therefore, Applicants respectfully request reconsideration and withdrawal of the rejection.

**Claim Rejection under 35 U.S.C. §103(a)**

***Rejection of Claims 16 and 36 under 35 U.S.C. §103(a)***

Claims 16 and 36 are rejected under 35 U.S.C. §103(a) as being unpatentable over U.S. Patent No. 4,078,062, Krapcho *et al.* ('062 Patent) The Office Action, at page 8, alleges that:

[t]he reference teaches a generic group of compounds which embraces Applicants' instantly claimed compounds . . . The claims differ from the reference by reciting a specific species and/or a more limited genus than the reference. One of ordinary skill in the art would have been motivated to select the claimed compounds from the genus in the reference since such compounds would have been suggested by the reference as a whole.

Applicants respectfully traverse the rejection and submit that the Office Action fails to set forth a *prima facie* showing of obviousness.

Furthermore, in order to make out a *prima facie* case of obviousness, the Office Action must establish: 1) the new compound or composition is of closely related chemical structure to the prior art compound or composition, **and** 2) there is *some suggestion or motivation arising from the cited prior art (and not the teachings of the application)* to make the new compound or composition. *In re Papesch*, 137 U.S.P.Q. 43 (CCPA 1963) (emphasis added). In this regard, the court has also held that a compound and all of its properties are inseparable and must be considered in the determination of obviousness. 137 U.S.P.Q. at 51.

The '062 Patent discloses compounds that possess anti-inflammatory activity. The compounds of the instant invention are inhibitors of protein kinases, particularly tyrosine kinases and serine/threonine kinases. The '062 patent neither teaches nor suggests protein kinase signalling cascades, nor the inhibition of protein kinases.

Moreover, protein kinases are not specific to inflammation. In fact, there are thousands of cellular processes that are implicated in the inflammatory response but do not involve protein kinase signalling cascades. Therefore, based on the teachings of the '062 patent, one of ordinary skill in the art would have no motivation to modify the compounds of the '062 patent to arrive at the compounds of the instant invention with the expectation that the compounds so modified would inhibit the activity of protein kinases, particularly tyrosine kinases and serine/threonine kinases. Furthermore, there is an infinite number of modifications possible based on the core structure disclosed in the patent. However, one of ordinary skill in the art would have no motivation to select the Applicants' modifications over any one of literally thousands of other possibilities.



Based on the foregoing arguments, Applicants assert that the Office Action fails to make out a *prima facie* case of obviousness. Applicants respectfully requests reconsideration and withdrawal of the rejection of claims 16 and 36 under 35 U.S.C. §103(a).

**CONCLUSION**

In view of the foregoing, entry of the amendments and remarks presented herein, favorable reconsideration and withdrawal of the rejections, and allowance of this application with all pending claims are respectfully requested. If a telephone conversation with Applicants' attorney would expedite prosecution of the above-identified application, the Examiner is invited to call the undersigned at (617) 227-7400.

Respectfully submitted,

LAHIVE & COCKFIELD, LLP  
Attorneys at Law

By 

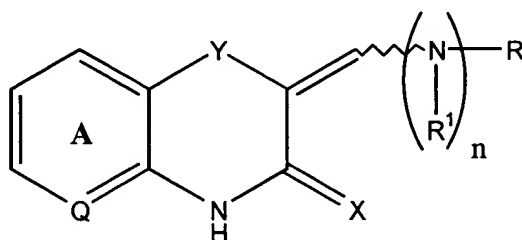
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Date: **November 26, 2001**

**APPENDIX A**  
**VERSION WITH MARKINGS TO SHOW CHANGES MADE**

**In the Claims:**

16. (Amended) A compound represented by the following structural formula:



[and]or physiologically acceptable salts thereof, wherein:

ring A is substituted or unsubstituted;

Q is -N= or -CR<sup>2</sup>=;

X is S, O, or NOR<sup>3</sup>;

Y is [-O-], -S-, -SO- or -SO<sub>2</sub>-;

R<sup>2</sup> is -H or a substituent;

R<sup>3</sup> is -H or -C(O)R<sup>4</sup>;

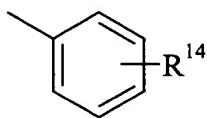
R<sup>4</sup> is a substituted or unsubstituted aliphatic or aromatic group;

n is 0 or 1; and wherein:

when X is S or NOR<sup>3</sup>, R is a substituted or unsubstituted aromatic or aralkyl group and R<sup>1</sup> is hydrogen or a substituted or unsubstituted aliphatic group;

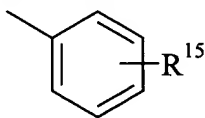
when X is O and n is 0, R<sup>1</sup> is hydrogen or a substituted or unsubstituted aliphatic group and R is a substituted or unsubstituted aromatic or aralkyl group, provided that R is not [thiophenyl]2-thienyl, benzoxadiazolyl, 4-oxo-4H-1-benzopyran-3-yl, 6-chloro-4-oxo-4H-1-benzopyran-3-yl, 6-methyl-4-oxo-4H-1-benzopyran-3-yl, 6-acetyloxy-4-oxo-4H-1-benzopyran-3-yl, naphthyl, 3-furanyl, 2-furanyl, 2-pyridyl, 3-pyridinyl, 4-pyridyl,

2,4-dichlorophenyl, 2,6-dichlorophenyl, 4-acetyloxy-3-methoxyphenyl, 3,5-dimethoxyphenyl, 3,4,5-trimethoxyphenyl, 3,5-*t*-butyl-4-hydroxyphenyl, 3,5-*i*-propyl-4-hydroxyphenyl, 3-(2-hydroxyphenyl)-1H-pyrazol-4-yl, 3-(5-chloro-2-hydroxyphenyl)-1H-pyrazol-4-yl, or



where R<sup>14</sup> is H, *p*-F, *o*-Cl, *p*-Cl, *p*-Br, *m*-Br, *o*-CH<sub>3</sub>, *p*-CH<sub>3</sub>, *p*-OCH<sub>2</sub>CH<sub>3</sub>, -O-Benzyl, CF<sub>3</sub>, phenyl, -OCH<sub>3</sub>, -O-phenyl, NO<sub>2</sub> [or]<sub>2</sub>, -OC(O)CH<sub>3</sub>, -OCH<sub>2</sub>C(O)C<sub>2</sub>H<sub>5</sub>, -OCH<sub>2</sub>C(O)NHNH<sub>2</sub>, *p*-(-O-(CH<sub>2</sub>)<sub>5</sub>-N(CH<sub>3</sub>)<sub>2</sub>), *p*-(-O-(CH<sub>2</sub>)<sub>3</sub>-N(*n*-C<sub>3</sub>H<sub>7</sub>)<sub>2</sub>), *p*-(3-piperidin-1-yl-propan-1-oxy), *m*-(2-morpholin-4-yl-ethan-1-oxy), or *m*-(4-(4-ethyl-piperazin-1-yl)-butan-1-oxy); and

when X is O and n is 1, R<sup>1</sup> is H or a substituted or unsubstituted aliphatic group and R is a substituted or unsubstituted aromatic or aralkyl group, provided that R is not 4-nitro-2-methoxyphenyl, 4-methoxy-2-nitrophenyl, 4-chloro-2-nitrophenyl, 2,5-dichlorophenyl, or



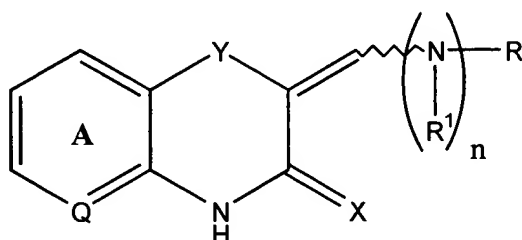
where R<sup>15</sup> is H, Cl, *p*-NO<sub>2</sub>, *o*-NO<sub>2</sub>, *p*-OCH<sub>3</sub>, *o*-CO<sub>2</sub>H, CH<sub>3</sub> or CF<sub>3</sub>.

20. (Amended) A compound of Claim 18, wherein Q is CH<sub>2</sub>; Y is [O or] S; and R is selected from the group consisting of substituted or unsubstituted pyrrole, pyrazole, imidazole, oxazole, isoxazole, thiazole, isothiazole, triazole, tetrazole, indole, 7-azaindole, indazole, purine, pyrrolo-pyrimidine, pyrazolo-pyrimidine, imidazo-pyridine, imidazo-pyrimidine, imidazo-pyridine, pyrrolo-pyridine, pyrrolo-pyridine, pyrrolo-quinoline, pyrrolo-pyrazine, 6,7,8,9-tetrahydropyrido-indole and tetrahydrofuran.

37. (New) The compound of claim 16, comprising 2-(1-(4-acetoxybutyl)-7-azaindol-3-yl)methylene-2H-1,4-benzothiazin-3(4H)-one, or physiologically acceptable salts thereof.

**APPENDIX B**  
**PENDING CLAIMS**

16. A compound represented by the following structural formula:



or physiologically acceptable salts thereof, wherein:

ring A is substituted or unsubstituted;

Q is -N= or -CR<sup>2</sup>=;

X is S, O, or NOR<sup>3</sup>;

Y is -S-, -SO- or -SO<sub>2</sub>-;

R<sup>2</sup> is -H or a substituent;

R<sup>3</sup> is -H or -C(O)R<sup>4</sup>;

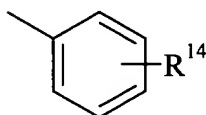
R<sup>4</sup> is a substituted or unsubstituted aliphatic or aromatic group;

n is 0 or 1; and wherein:

when X is S or NOR<sup>3</sup>, R is a substituted or unsubstituted aromatic or aralkyl group and R<sup>1</sup> is hydrogen or a substituted or unsubstituted aliphatic group;

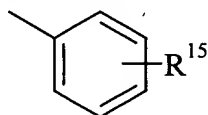
when X is O and n is 0, R<sup>1</sup> is hydrogen or a substituted or unsubstituted aliphatic group and R is a substituted or unsubstituted aromatic or aralkyl group, provided that R is not 2-thienyl, benzoxadiazolyl, 4-oxo-4H-1-benzopyran-3-yl, 6-chloro-4-oxo-4H-1-benzopyran-3-yl, 6-methyl-4-oxo-4H-1-benzopyran-3-yl, 6-acetyloxy-4-oxo-4H-1-benzopyran-3-yl, naphthyl, 3-furanyl, 2-furanyl, 2-pyridyl, 3-pyridinyl, 4-pyridyl, 2,4-dichlorophenyl, 2,6-dichlorophenyl, 4-acetyloxy-3-methoxyphenyl, 3,5-dimethoxyphenyl, 3,4,5-trimethoxyphenyl, 3,5-*i*-butyl-4-hydroxyphenyl, 3,5-*i*-propyl-4-

hydroxyphenyl, 3-(2-hydroxyphenyl)-1H-pyrazol-4-yl, 3-(5-chloro-2-hydroxyphenyl)-1H-pyrazol-4-yl, or



where R<sup>14</sup> is H, *p*-F, *o*-Cl, *p*-Cl, *p*-Br, *m*-Br, *o*-CH<sub>3</sub>, *p*-CH<sub>3</sub>, *p*-OCH<sub>2</sub>CH<sub>3</sub>, -O-Benzyl, CF<sub>3</sub>, phenyl, -OCH<sub>3</sub>, -O-phenyl, NO<sub>2</sub>, -OC(O)CH<sub>3</sub>, -OCH<sub>2</sub>C(O)C<sub>2</sub>H<sub>5</sub>, -OCH<sub>2</sub>C(O)NHNH<sub>2</sub>, *p*-(-O-(CH<sub>2</sub>)<sub>5</sub>-N(CH<sub>3</sub>)<sub>2</sub>), *p*-(-O-(CH<sub>2</sub>)<sub>3</sub>-N(*n*-C<sub>3</sub>H<sub>7</sub>)<sub>2</sub>), *p*-(3-piperidin-1-yl-propan-1-oxy), *m*-(2-morpholin-4-yl-ethan-1-oxy), or *m*-(4-(4-ethyl-piperazin-1-yl)-butan-1-oxy); and

when X is O and n is 1, R<sup>1</sup> is H or a substituted or unsubstituted aliphatic group and R is a substituted or unsubstituted aromatic or aralkyl group, provided that R is not 4-nitro-2-methoxyphenyl, 4-methoxy-2-nitrophenyl, 4-chloro-2-nitrophenyl, 2,5-dichlorophenyl, or



where R<sup>15</sup> is H, Cl, *p*-NO<sub>2</sub>, *o*-NO<sub>2</sub>, *p*-OCH<sub>3</sub>, *o*-CO<sub>2</sub>H, CH<sub>3</sub> or CF<sub>3</sub>.

17. A compound of Claim 16, wherein the aromatic group and the aromatic portion of the aralkyl group defined for R is a heteroaryl group.
18. A compound of Claim 17 wherein n is 0 and R is selected from the group consisting of substituted or unsubstituted indole, pyrrole, 7-azaindole, pyrazole, imidazole and indazole.
19. A compound of Claim 16, wherein n is 1 and R is selected from the group consisting of substituted or unsubstituted indole, pyrazolyl, phenyl, triazolyl, pyridyl and indazolyl.
20. A compound of Claim 18, wherein Q is CH<sub>2</sub>; Y is S; and R is selected from the group consisting of substituted or unsubstituted pyrrole, pyrazole, imidazole, oxazole, isoxazole, thiazole, isothiazole, triazole, tetrazole, indole, 7-azaindole, indazole, purine, pyrrolo-pyrimidine, pyrazolo-pyrimidine, imidazo-pyridine, imidazo-pyrimidine, imidazo-pyridine, pyrrolo-pyridine, pyrrolo-pyridine,

pyrrolo-quinoline, pyrrolo-pyrazine, 6,7,8,9-tetrahydropyrido-indole and tetrahydrofuran.

21. A compound according to Claim 20, wherein R is selected from the group consisting of substituted or unsubstituted pyrrole, pyrazole, imidazole, oxazole, isoxazole, thiazole, isothiazole, triazole, tetrazole, indole, 7-azaindole, indazole, purine, pyrrolo[2,3-d]pyrimidine, pyrazolo[3,4-d]pyrimidine, imidazo[4,5-b]pyridine, imidazo[1,2-a]pyrimidine, imidazo[1,2-a]pyridine, pyrrolo[3,2-b]pyridine, pyrrolo[3,2-c]pyridine, pyrrolo[2,3-c]pyridine, pyrrolo[3,2-b]quinoline, pyrrolo[2,3-b]pyrazine, 6,7,8,9-tetrahydropyrido[1,2-a]indole, tetrahydrofuran.
22. A compound of Claim 21 wherein R is optionally substituted with one or more moieties selected from the group consisting of halogens, trihalomethyl, cyano, hydroxy, nitro,  $-NR^5R^6$ , carbamoyl, carboxy, carboxamidoxime,  $-SO_2NR^5R^6$ ,  $-NHSO_2R^5$ ,  $R^7-O-R^8$ ,  $R^7-O-R^8-O-R^9$ ,  $R^{11}$ ,  $R^{11}O$ ,  $R^{11}OC(O)$ ,  $R^{11}N(R^5)C(O)$ ,  $R^{11}C(O)$ ,  $R^{11}C(O)O$ ,  $R^{11}S$ ,  $R^{11}S(O)$ ,  $R^{11}S(O)_2$ ,  $(R^5R^6)NC(O)$ ,  $R^{11}(R^5)NC(O)N(R^5)$ ,  $R^{11}C(O)N(R^5)$ ,  $R^{12}(CH_2)_m$ ,  $R^{12}(CH_2)_mC(O)N(R^5)$ ,  $R^{12}(CH_2)_mO$ ,  $R^{12}(CH_2)_mN(R^5)$ ,  $[R^{12}(CH_2)_m]_2CH-O-(CH_2)_m$ ,  $R^{12}(CH_2)_mOC(O)$ ,  $R^{12}(CH_2)_mN(R^5)C(O)$ ,  $R^{12}(CH_2)_mCH(R^{12})(CH_2)_m$ ,  $R^{12}(CH_2)_mC(O)O$ ,  $R^{12}(CH_2)_mN(R^5)C(O)O$ ,  $R^{12}(CH_2)_mOC(O)N(R^5)$ ,  $R^{12}(CH_2)_mOC(O)O$ ,  $R^{12}(CH_2)_mN(R^5)C(O)(CH_2)_m$ ,  $R^{12}(CH_2)_mOC(O)(CH_2)_m$ ,  $R^{12}(CH_2)_m(CR^5R^6)_m(CH_2)_mN(R^5)(CH_2)_m$ ,  $R^{12}(CH_2)_mC(O)$ ,  $R^{12}C(O)(CH_2)_m$ ,  $R^{12}(CH_2)_m(CR^5R^6)_m(CH_2)_mN(R^5)C(O)(CH_2)_m$ ,  $R^{12}(CH_2)_m(CR^5R^6)_m(CH_2)_mN(R^5)(CH_2)_mC(O)$ ,  $[R^{12}(CH_2)_m]_2NC(O)(CH_2)_m$ ,  $R^{12}(CH_2)_mC(O)$ ,  $R^{12}(CH_2)_m(CR^5R^6)_m(CH_2)_mN(R^5)SO_2$ ,  $R^{12}(CH_2)_m(CR^5R^6)_m(CH_2)_mO(CH_2)_m$ ,  
wherein  $R^5$  and  $R^6$  for each occurrence are each independently selected from the group consisting of hydrogen, a lower alkyl, benzyl, heteroarylmethyl and aryl group optionally substituted with a halogen, cyano or hydroxy group;

$R^7$  for each occurrence is independently selected from the group consisting of hydrogen,  $R^{10}C(O)-$ , a lower alkyl and an aryl group optionally substituted with one or more halogens, cyano, hydroxy or  $-NR^5R^6$ ;

$R^8$  and  $R^9$  for each occurrence are each independently selected from the group consisting of  $-C(O)-$ , a lower alkyl or an aryl group optionally substituted with one or more halogens, cyano, hydroxy or  $-NR^5R^6$ ;

$R^{10}$  for each occurrence is independently selected from a group consisting of a lower alkyl and an aryl group optionally substituted with one or more halogens, cyano, hydroxy or  $-NR^5R^6$ ;

$R^{11}$  for each occurrence is independently hydrogen or selected from an optionally substituted group consisting of a lower alkyl group, a saturated or unsaturated heterocyclic ring, an aryl group and an aralkyl group, where said groups are optionally substituted with one or more halogens, cyano, hydroxy or  $-NR^5R^6$ ;

$R^{12}$  for each occurrence is independently selected from the group consisting of halogen, carboxy, carbamoyl, lower alkyloxycarbonyl, lower alkenyl, hydroxy, a lower alkyloxy, a lower alcanoyloxy, and  $-NR^5R^6$ ; or is selected from an optionally substituted group consisting of morpholine, piperazine, piperidine, pyrrolidine, homopiperazine, pyridine, triazole, tetrazole, imidazole and tetrahydropyran, where said groups are optionally substituted with one or more hydroxy, lower alkyl, lower alkyloxy, lower hydroxyalkyl, lower aminoalkyl, lower alkyloxyalkyl, a saturated or unsaturated heterocyclic ring, cycloalkyl or  $-NR^5R^6$  group; and

m is independently an integer from 0 to 4.

23. A compound of Claim 22, wherein X is O and n is 0.
24. A compound of Claim 22, wherein X is S.
25. A compound of Claim 22, wherein X is  $NOR_3$ .
26. A compound of Claim 23 wherein R is selected from the group consisting of:  
pyrrol-2-yl,  
5-methylpyrrol-2-yl,  
3,5-dimethylpyrrol-2-yl,  
4,5-dimethylpyrrol-2-yl,

4-ethyl-3,5-dimethylpyrrol-2-yl,  
4-ethoxycarbonyl-3,5-dimethylpyrrol-2-yl,  
1-methylpyrrol-2-yl,  
1-(4-hydroxybutyl)pyrrol-2-yl,  
1-(2-hydroxyethyl)pyrrol-2-yl,  
1-(3-dimethylaminopropyl)pyrrol-2-yl,  
4-bromopyrrol-2-yl,  
1-[N-(2-morpholinoethyl)carbamoylmethyl]pyrrol-2-yl,  
1-(ethoxycarbonylmethyl)pyrrol-2-yl,  
1-(carboxymethyl)pyrrol-2-yl,  
1-[N-(3-dimethylaminopropyl)carbamoylmethyl]pyrrol-2-yl,  
1-[(4-methylpiperazin-1-yl)carbonylmethyl]pyrrol-2-yl,  
indol-3-yl,  
1-(4-hydroxybutyl)indol-3-yl,  
5-methoxyindol-3-yl,  
1-(2-hydroxyethyloxymethyl)indol-3-yl,  
1-(3-dimethylaminopropyl)indol-3-yl,  
6-methoxycarbonylindol-3-yl,  
2-methylindol-3-yl,  
1-methylindol-3-yl,  
1-isopropylindol-3-yl,  
1-(2-hydroxy-3-dimethylaminopropyl)indol-3-yl,  
5-hydroxyindol-3-yl,  
6-carboxyindol-3-yl,  
5-amino-2-methylindol-3-yl,  
6-(2-dimethylaminoethyloxycarbonyl)indol-3-yl,  
6-(2-morpholinoethyloxycarbonyl)indol-3-yl,  
6-(3-dimethylaminopropylcarbamoyl)indol-3-yl,  
1-(carbamoylmethyl)indol-3-yl,  
8-hydroxymethyl-6,7,8,9-tetrahydropyrido[1,2-a]indol-10-yl,  
1-(ethoxycarbonylmethyl)indol-3-yl,  
4-methoxycarbonylindol-3-yl,  
1-(2-ethoxycarbonyl)indol-3-yl,  
7-methoxycarbonylindol-3-yl,  
2-ethoxycarbonylindol-3-yl,  
1-cyclopentylindol-3-yl,  
1-(3-tetrahydrofuranyl)indol-3-yl,  
6-(N,N-dimethylaminosulfonyl)indol-3-yl,  
5-(acetylaminomethyl)indol-3-yl,  
1-(diethylcarbamoyl)indol-3-yl,  
5-hydroxy-1-methylindol-3-yl,  
6-methoxyindol-3-yl,  
6-hydroxyindol-3-yl,  
6-[2-(pyrrolidin-1-yl)ethyloxycarbonyl]indol-3-yl,



6-(2-dimethylaminoethyloxycarbonyl)-1-methylindol-3-yl,  
6-(3-dimethylaminopropyloxycarbonyl)indol-3-yl,  
6-carboxy-1-(2-hydroxyethyl)indol-3-yl,  
6-{N-[2-(pyrrolidin-1-yl)ethyl]carbamoyl}indol-3-yl,  
6-[N-(2-morpholinoethyl) carbamoyl]indol-3-yl,  
6-[N-(2-dimethylaminoethyl)carbamoyl]indol-3-yl,  
6-{N-[3-(4-methylpiperazin-1-yl)propyl]carbamoyl}indol-3-yl,  
6-{N-[2-(piperidin-1-yl)ethyl]carbamoyl}indol-3-yl,  
6-[N-(2-dimethylaminopropyl)carbamoyl]indol-3-yl,  
6-{{N-(2-dimethylaminoethyl)-N-methyl}carbamoyl}indol-3-yl ,  
6-[(4-methylpiperazin-1-yl)carbonyl]indol-3-yl,  
5-[2-(piperidin-1-yl)ethyloxy]indol-3-yl,  
5-(3-dimethylaminopropyloxy)indol-3-yl,  
5-(2-morpholinoethyloxy) indol-3-yl,  
5-(3-dimethylaminopropyloxy)-1-(isopropyloxycarbonyl)indol-3-yl,  
5-(3-dimethylaminopropyloxy)-1-methylindol-3-yl,  
5-(2-morpholinoethyloxy)-1-methylindol-3-yl,  
5-[2-(pyrrolidin-1-yl)ethyloxy]indol-3-yl,  
5-(2-dimethylaminoethyloxy)indol-3-yl,  
6-(3-dimethylaminopropyloxy)indol-3-yl,  
6-(2-morpholinoethyloxy)indol-3-yl,  
6-[2-(piperidin-1-yl)ethyloxy]indol-3-yl,  
6-[2-(pyrrolidin-1-yl)ethyloxy]indol-3-yl,  
6-(2-dimethylaminoethyloxy)indol-3-yl,  
6-[(2-dimethylamino-2-methyl)propyloxy]indol-3-yl,  
6-[2-(1-methylpyrrolidin-2-yl)ethyloxy]indol-3-yl,  
6-[2-(1-methylpiperidin-3-yl)methyloxy]indol-3-yl,  
7-(dimethylaminomethyl)-6-hydroxyindol-3-yl,  
7-(dimethylaminomethyl)-6-(2-morpholinoethyloxy)indol-3-yl,  
2-methyl-5-(N'-ethylureido)indol-3-yl,  
2-methyl-5-(p-toluensulfonylamino)indol-3-yl,  
6-[(3-dimethylaminopropyl)aminomethyl]indol-3-yl,  
6-[(2-methoxyethyl)aminomethyl]indol-3-yl,  
1-(carboxymethyl)indol-3-yl,  
1-[N-(2-morpholinoethyl)carbamoylemethyl]indol-3-yl,  
1-[N-(2-methoxyethyl)carbamoylemethyl]indol-3-yl,  
1-[N-(3-dimethylaminopropyl)carbamoylemethyl]indol-3-yl,  
1-{N-(2-(2-pyridyl)ethyl) carbamoylemethyl}indol-3-yl,  
1-{N-[2-(pyrrolidin-1-yl)ethyl]carbamoylemethyl}indol-3-yl,  
7-[N-(3-dimethylaminopropyl)carbamoyl]indol-3-yl,  
1-[(4-methylpiperazin-1-yl)carbonylmethyl]indol-3-yl,  
1-[N,N-bis(2-N',N'-diethylaminoethyl)carbamoylemethyl]indol-3-yl,  
1-[(4-piperidinopiperidin-1-yl)carbonylmethyl]indol-3-yl,  
1-{{N-(2-N',N'-diethylaminoethyl)-N-methyl}carbamoylemethyl}indol-3-yl,

7-carboxyindol-3-yl,  
7-[(4-methylpiperazin-1-yl)carbonyl]indol-3-yl,  
7-[[4-(2-hydroxyethyl)piperazin-1-yl]carbonyl]indol-3-yl,  
7-azaindol-3-yl,  
1-(4-hydroxybutyl)-7-azaindol-3-yl,  
1-(2-hydroxyethyloxymethyl)-7-azaindol-3-yl,  
1-(3-dimethylaminopropyl)-7-azaindol-3-yl,  
1-(2-morpholinoethyl)-7-azaindol-3-yl,  
1-(4-acetoxybutyl)-7-azaindol-3-yl,  
1-(2-hydroxyethyl)-7-azaindol-3-yl,  
1-methyl-7-azaindol-3-yl,  
1-methoxymethyl-7-azaindol-3-yl,  
1-(2-dimethylaminomethyl)-7-azaindol-3-yl,  
1-(ethoxycarbonylmethyl)-7-azaindol-3-yl,  
1-[N-(2-morpholinoethyl)carbamoylmethyl]-7-azaindol-3-yl,  
1-carboxymethyl-7-azaindol-3-yl,  
1-{N-[3-(4-methylpiperazin-1-yl)propyl]carbamoylmethyl}-7-azaindol-3-yl,  
1-[(4-methylpiperazin-1-yl)carbamoylmethyl]-7-azaindol-3-yl,  
1-{[N-(2-N',N'-diethylaminoethyl)-N-methyl]carbamoylmethyl}-7-azaindol-3-yl,  
1-{[N-(1-ethylpyrrolidin-2-yl)methyl]carbamoylmethyl}-7-azaindol-3-yl,  
1-[(4-methylhomopiperazin-1-yl)carbonylmethyl]-7-azaindol-3-yl,  
1-[(4-ethylpiperazin-1-yl)carbonylmethyl]-7-azaindol-3-yl,  
1-[(4-piperidinopiperidin-1-yl)carbonylmethyl]-7-azaindol-3-yl,  
1-[N,N-bis(2-N',N'-diethylaminoethyl)carbamoylmethyl]-7-azaindol-3-yl,  
7-benzyloxy pyrrolo[2,3-c]pyridin-5-yl,  
7-hydroxy pyrrolo[2,3-c]pyridin-5-yl,  
1-(2-dimethylaminoethyl)-7-hydroxy pyrrolo[2,3-c]pyridin-5-yl,  
imidazol-2-yl,  
4-trifluoromethylimidazol-2-yl,  
4-cyanoimidazol-2-yl,  
1-methyl-1H-benzo[d]imidazol-2-yl,  
imidazol-5-yl,  
4(5)-methylimidazol-5(4)-yl,  
2-methylimidazol-5-yl,  
2-ethyl-4(5)-methylimidazol-5(4)-yl,  
3-(2-diethylaminoethyl)-4-methylimidazol-5-yl,  
1-(2-diethylaminoethyl)-4-methylimidazol-5-yl,  
1-(2-morpholinoethyl)-4-methylimidazol-5-yl,  
3-(2-morpholinoethyl)-4-methylimidazol-5-yl,  
1-methyl-2-methylthioimidazol-5-yl,  
4(5)-methoxycarbonylimidazol-5(4)-yl,  
4(5)-hydroxymethylimidazol-5(4)-yl,  
furan-3-yl,  
thien-3-yl,

3-methylpyrazol-4-yl,  
3-phenylpyrazol-4-yl,  
1-(2-diethylaminoethyl)-3-methylpyrazol-4-yl,  
1-(2-diethylaminoethyl)-5-methylpyrazol-4-yl,  
1-(2-morpholinoethyl)-3-methylpyrazol-4-yl,  
1-(2-morpholinoethyl)-5-methylpyrazol-4-yl,  
1-methylpyrazol-4-yl,  
1-tert-butylpyrazol-4-yl,  
1-ethoxycarbonylmethyl-3-methylpyrazol-4-yl,  
1-ethoxycarbonylmethyl-5-methylpyrazol-4-yl,  
1-carboxymethyl-3-methylpyrazol-4-yl,  
1-carboxymethyl-5-methylpyrazol-4-yl,  
1-[N-(2-dimethylaminoethyl)carbamoylmethyl]-3-methylpyrazol-4-yl,  
1-{N-[3-(4-methylpiperazin-1-yl)propyl]carbamoylmethyl}-3-methylpyrazol-4-yl,  
1-[N-(2-dimethylaminoethyl)carbamoylmethyl]-5-methylpyrazol-4-yl,  
1-[N-(2-morpholinoethyl)carbamoylmethyl]-3-methylpyrazol-4-yl,  
1-[(4-piperidinopiperidin-1-yl)carbonylmethyl]-3-methylpyrazol-4-yl,  
1-{[N-(2-N',N'-diethylaminoethyl)-N-methyl]carbamoylmethyl}-3-methylpyrazol-4-yl,  
1-[(4-methylpiperazin-1-yl)carbonylmethyl]-5-methylpyrazol-4-yl,  
1-[(4-methylpiperazin-1-yl)carbonylmethyl]-3-methylpyrazol-4-yl,  
1-{N-[3-(imidazol-1-yl)propyl]carbamoylmethyl}-3-methylpyrazol-4-yl,  
1-{[4-(2-hydroxyethyl)piperazin-1-yl]carbonylmethyl}-5-methylpyrazol-4-yl,  
1-{[4-(2-(2-hydroxyethoxy)ethyl)piperazin-1-yl]carbonylmethyl}-5-methylpyrazol-4-yl,  
indol-2-yl,  
pyrrol-3-yl,  
indazol-3-yl,  
thiazol-2-yl,  
pyrazol-3-yl,  
5(3)-ethoxycarbonylpyrazol-3(5)-yl,  
5(3)-[N-(2-morpholinoethyl)carbamoyl]pyrazol-3(5)-yl,  
5(3)-[N-(2-methoxyethyl)carbamoyl]pyrazol-3(5)-yl,  
5(3)-{N-[2-(pyrrolidin-1-yl)ethyl]carbamoyl}pyrazol-3(5)-yl,  
5(3)-[N-(3-dimethylaminopropyl)carbamoyl]pyrazol-3(5)-yl,  
2-(dimethylamino)thiazol-5-yl,  
indol-4-yl,  
3-(morpholinomethyl)indol-4-yl,  
indol-7-yl,  
3-(dimethylaminomethyl)indol-7-yl,  
3-(morpholinomethyl)indol-7-yl,  
3-(piperidinomethyl)indol-7-yl,  
3-[(4-methylpiperazin-1-yl)methyl]indol-7-yl,  
3,5-dimethyl-4-dimethylaminomethylpyrrol-2-yl,  
4-carboxyimidazol-2-yl,  
7-{N-[3-(imidazol-1-yl)propyl]carbamoyl}indol-3-yl,

7-{N-[3-(4-methylpiperazin-1-yl)propyl]carbamoyl}indol-3-yl,  
7-[N-(2-dimethylaminopropyl)carbamoyl]indol-3-yl,  
7-{N-[2-(pyrrolidin-1-yl)ethyl]carbamoyl}indol-3-yl,  
7-[(4-ethylpiperazin-1-yl)carbonyl]indol-3-yl,  
7-[(4-methylhomopiperazin-1-yl)carbonyl]indol-3-yl,  
3-{[4-(2-hydroxyethyl)piperazin-1-yl]methyl}indol-7-yl,  
3-[(4-hydroxypiperidin-1-yl)methyl]indol-7-yl,  
1-[(piperazin-1-yl)carbonylmethyl]-7-azaindol-3-yl,  
1-[(piperazin-1-yl)carbonylmethyl]indol-3-yl,  
1-[(piperazin-1-yl)carbonylmethyl]-3-methyl-1H-pyrazol-4-yl,  
1-{N-[2-(pyrrolidin-1-yl)ethyl]carbamoylmethyl}-3-methyl-1H-pyrazol-4-yl,  
1-[N-(2-dimethylaminopropyl)carbamoylmethyl]-3-methyl-1H-pyrazol-4-yl,  
3-(2-dimethylaminoacetyl)indol-7-yl,  
6-[(2-morpholinoethyl)aminomethyl]indol-3-yl,  
6-{[2-(pyrrolidin-1-yl)ethyl]aminomethyl}indol-3-yl,  
6-[(3-methoxycarbonylpropyl)oxy]indol-3-yl,  
6-{[(3-(4-methylpiperazin-1-yl)carbonyl]propyloxy}indol-3-yl,  
6-{3-[N-(2-dimethylaminoethyl)-N-methylcarbamoyl]propyloxy}indol-3-yl,  
6-[(2-hydroxyethyl)oxymethyloxy]indol-3-yl,  
6-{3-[(4-piperidinopiperidin-1-yl)carbonyl]propyloxy}indol-3-yl,  
6-{3-{[4-(2-hydroxyethyl)piperazin-1-yl]carbonyl}propyloxy}indol-3-yl,  
6-[(4-methylpiperazin-1-yl)methyl]indol-3-yl,  
6-{[N-(2-dimethylaminoethyl)-N-methyl]aminomethyl}indol-3-yl,  
7-(dimethylaminomethyl)-6-(2-methoxyethyloxy)indol-3-yl,  
7-(dimethylaminomethyl)-6-(3-methoxycarbonylpropyloxy)indol-3-yl,  
7-(dimethylaminomethyl)-6-{[3-(4-methylpiperazin-1-yl)carbonyl]propyloxy}indol-3-yl,  
7-(dimethylaminomethyl)-6-[(2-hydroxyethyl)oxymethyloxy]indol-3-yl,  
6-(2-methoxyethyloxy)-7-[(pyrrolidin-1-yl)methyl]indol-3-yl,  
6-{[3-(4-methylpiperazin-1-yl)carbonyl]propyloxy}-7-[(pyrrolidin-1-yl)methyl]indol-3-yl,  
6-[(2-hydroxyethyl)oxymethyloxy]-7-[(pyrrolidin-1-yl)methyl]indol-3-yl,  
7-[[[(pyrrolidin-1-yl)methyl]-6-{[2-(pyrrolidin-1-yl)ethyl]oxy}indol-3-yl,  
6-[2-(pyrrolidin-1-yl)ethyloxy]-7-azaindol-3-yl,  
6-(2-piperidinoethyloxy)-7-azaindol-3-yl,  
6-[(2-dimethylamino-2-methyl)propyloxy]-7-azaindol-3-yl,  
6-[(2-hydroxyethyl)aminomethylcarbonyl]indol-3-yl,  
6-{[2-(pyrrolidin-1-yl)ethyl]aminomethylcarbonyl}indol-3-yl,  
6-[(2-diethylaminoethyl)aminomethylcarbonyl]indol-3-yl,  
4-carbamoylimidazol-2-yl,  
4(5)-methyl-2-(methylmercapto)imidazol-5(4)-yl,  
4(5)-methyl-2-(methylsulfonyl)imidazol-5(4)-yl,  
2-amino-4(5)-methylimidazol-5(4)-yl,  
4(5)-dimethylaminomethylimidazol-5(4)-yl,  
4(5)-methylaminomethylimidazol-5(4)-yl,

4(5)-diethylaminomethylimidazol-5(4)-yl,  
6-(N-methylaminosulfonyl)indol-3-yl,  
6-[N-(3-dimethylaminopropyl)sulfonyl]indol-3-yl,  
6-{N-[2-(pyrrolidin-1-yl)ethyl]aminosulfonyl}indol-3-yl,  
6-{N-[2-piperidinoethyl]aminosulfonyl}indol-3-yl,  
6-[N-(2-morpholinoethyl)aminosulfonyl]indol-3-yl,  
6-{N-[2-(piperidinomethyl)aminosulfonyl}indol-3-yl,  
6-{N-[3-(4-methylpiperazin-1-yl)propyl]aminosulfonyl}indol-3-yl,  
7-[N-(2-morpholinoethyl)carbamoyl]indol-3-yl,  
7-[N-(2-piperidinoethyl)carbamoyl]indol-3-yl,  
7-{{N-(2-N',N'-diethylaminoethyl)-N-methyl}carbamoyl} indol-3-yl,  
7-[N-(2-methoxyethyl)carbamoyl]indol-3-yl,  
7-[(4-piperidinopiperidin-1-yl)carbonyl]indol-3-yl,  
7-[(piperazin-1-yl)carbonyl]indol-3-yl,  
7-{N-[(2,2,N',N'-tetramethyl)propyl]carbamoyl}indol-3-yl,  
7-{N-[(1-ethylpyrrolidin-2-yl)methyl]carbamoyl}indol-3-yl,  
7-{N-[2-(2-pyridyl)ethyl]carbamoyl}indol-3-yl,  
6-{N-[2-(2-pyridyl)ethyl]carbamoyl}indol-3-yl,  
6-[(4-piperidinopiperidin-1-yl)carbonyl]indol-3-yl,  
6-[(piperazin-1-yl)carbonyl]indol-3-yl,  
6-{N-[(2,2,N',N'-tetramethyl)propyl]carbamoyl}indol-3-yl,  
6-{N-[(1-ethylpyrrolidin-2-yl)methyl]carbamoyl}indol-3-yl,  
6-[(4-methylhomopiperazin-1-yl)carbonyl]indol-3-yl,  
6-[(4-butylpiperazin-1-yl)carbonyl]indol-3-yl,  
6-[(4-ethylpiperazin-1-yl)carbonyl]indol-3-yl,  
6-[[4-(2-(pyrrolidin-1-yl)ethyl)piperidin-1-yl]carbonyl}indol-3-yl,  
6-{{N-(3-dimethylamino)prop-2-yl}carbamoyl}indol-3-yl,  
6-{N-[3-(imidazol-1-yl)propyl]carbamoyl}indol-3-yl,  
6-[[4-(2-hydroxyethyl)piperazin-1-yl]carbonyl}indol-3-yl,  
3-[(4-ethylpiperazin-1-yl)methyl]indol-7-yl,  
3-[(pyrrolidin-1-yl)methyl]indol-7-yl,  
3-[(4-methylhomopiperazin-1-yl)methyl]indol-7-yl,  
3-(diethylaminomethyl)indol-7-yl,  
3-{{N-(2-N',N'-dimethylaminoethyl)-N-methyl}aminomethyl}indol-7-yl,  
3-[(4-piperidinopiperidin-1-yl)methyl]indol-7-yl,  
3-(2-piperidinoacetyl)indol-7-yl,  
3-[2-(pyrrolidin-1-yl)acetyl]indol-7-yl,  
3-(2-diethylaminoacetyl)indol-7-yl,  
3-[2-(4-methylpiperazin-1-yl)acetyl]indol-7-yl,  
3-[2-(4-methylhomopiperazin-1-yl)acetyl]indol-7-yl,  
3-(2-morpholinoacetyl)indol-7-yl,  
3-{2-[(2-methoxyethyl)amino]acetyl}indol-7-yl,  
3-{2-[(2-piperidinoethyl)amino]acetyl}indol-7-yl,  
3-{2-[[3-(imidazol-1-yl)propyl]amino]acetyl}indol-7-yl,

6-[3-(carboxypropyl)oxy]indol-3-yl,  
6-{3-[(4-methylhomopiperazin-1-yl)carbonyl]propyloxy}indol-3-yl,  
6-[(2-homopiperidin-1-yl)ethyloxy]indol-3-yl,  
6-[(2-diethylamino-1-methyl)ethyloxy]indol-3-yl,  
6-{2-[(tetrahydropyran-2-yl)oxy]ethyloxy}indol-3-yl,  
6-[(2-hydroxyethyl)oxy]indol-3-yl,  
6-[2-(isopropyl)ethyloxy]indol-3-yl,  
6-[2-(methoxyethyl)oxy]indol-3-yl,  
6-[(3-methoxypropyl)oxy]indol-3-yl,  
6-[(3-methoxybutyl)oxy]indol-3-yl,  
6-[(N,N-diethylcarbamoyl)methyl]oxy}indol-3-yl,  
7-[2-(piperidin-1-yl)ethyloxy]indol-3-yl,  
7-[(2-homopiperidin-1-yl)ethyloxy]indol-3-yl,  
7-[(2-diethylamino-1-methyl)ethyloxy]indol-3-yl,  
7-{2-[(tetrahydropyran-2-yl)oxy]ethyloxy}indol-3-yl,  
7-[(2-hydroxyethyl)oxy]indol-3-yl,  
7-[2-(isopropyl)ethyloxy]indol-3-yl,  
7-[2-(methoxyethyl)oxy]indol-3-yl,  
7-[(3-methoxypropyl)oxy]indol-3-yl,  
7-[(3-methoxybutyl)oxy]indol-3-yl,  
7-[(N,N-diethylcarbamoyl)methyl]oxy}indol-3-yl,  
7-(dimethylaminomethyl)-6-[(2-piperidin-1-yl)ethyloxy]indol-3-yl,  
7-(dimethylaminomethyl)-6-[(2-homopiperidin-1-yl)ethyloxy]indol-3-yl,  
7-(dimethylaminomethyl)-6-{2-[(tetrahydropyran-2-yl)oxy]ethyloxy}indol-3-yl,  
7-(dimethylaminomethyl)-6-[(2-hydroxyethyl)oxy]indol-3-yl,  
7-(dimethylaminomethyl)-6-[2-(isopropyl)ethyloxy]indol-3-yl,  
7-(dimethylaminomethyl)-6-[2-(methoxyethyl)oxy]indol-3-yl,  
7-(dimethylaminomethyl)-6-[(3-methoxypropyl)oxy]indol-3-yl,  
7-(dimethylaminomethyl)-6-[(3-methoxybutyl)oxy]indol-3-yl,  
7-[(pyrrolidin-1-yl)methyl]-6-[(2-piperidin-1-yl)ethyloxy]indol-3-yl,  
7-[(pyrrolidin-1-yl)methyl]-6-[(2-homopiperidin-1-yl)ethyloxy]indol-3-yl,  
7-[(pyrrolidin-1-yl)methyl]-6-{2-[(tetrahydropyran-2-yl)oxy]ethyloxy}indol-3-yl,  
7-[(pyrrolidin-1-yl)methyl]-6-[(2-hydroxyethyl)oxy]indol-3-yl,  
7-[(pyrrolidin-1-yl)methyl]-6-[2-(isopropyl)ethyloxy]indol-3-yl,  
7-[(pyrrolidin-1-yl)methyl]-6-[2-(methoxyethyl)oxy]indol-3-yl,  
7-[(pyrrolidin-1-yl)methyl]-6-[(3-methoxypropyl)oxy]indol-3-yl,  
7-[(pyrrolidin-1-yl)methyl]-6-[(3-methoxybutyl)oxy]indol-3-yl,  
6-[(2-homopiperidin-1-yl)ethyloxy]-7-azaindol-3-yl,  
6-[(2-diethylamino-1-methyl)ethyloxy]-7-azaindol-3-yl,  
6-{2-[(tetrahydropyran-2-yl)oxy]ethyloxy}-7-azaindol-3-yl,  
6-[(2-hydroxyethyl)oxy]-7-azaindol-3-yl,  
6-[2-(isopropyl)ethyloxy]-7-azaindol-3-yl,  
6-[2-(methoxyethyl)oxy]-7-azaindol-3-yl,  
6-[(3-methoxypropyl)oxy]-7-azaindol-3-yl,

6-[(3-methoxybutyl)oxy]-7-azaindol-3-yl,  
6-[[N,N-diethylcarbamoyl)methyl]oxy}-7-azaindol-3-yl,  
6-{4-(2-hydroxyethyl)piperazin-1-yl)methyl}indol-3-yl,  
6-[(4-methylhomopiperazin-1-yl)]methyindol-3-yl,  
6-[(4-piperidinopiperidin-1-yl)methyl]indol-3-yl,  
6-[[3-(isopropoxy)propyl]aminomethyl}indol-3-yl,  
6-[[3,3-bis(ethyloxy)propyl]aminomethyl}indol-3-yl,  
6-[(2,2-dimethyl-1,3-dioxolane-4-methane)aminomethyl]indol-3-yl,  
6-{3-[(2-methoxyethyl)oxypropyl]aminomethyl}indol-3-yl,  
6-[[3-(ethyloxy)propyl]aminomethyl}indol-3-yl,  
6-[3-(butyloxy)propyl]aminomethyl]indol-3-yl,  
6-[(3-methoxypropyl)aminomethyl]indol-3-yl,  
6-(chloromethylcarbonyl)indol-3-yl,  
6-[2-(isopropoxyethyl)aminomethylcarbonyl]indol-3-yl,  
6-[[2-(piperidin-1-yl)ethyl]aminomethylcarbonyl}indol-3-yl,  
6-[[2-(homopiperidin-1-yl)ethyl]aminomethylcarbonyl}indol-3-yl,  
6-{4-(2-hydroxyethyl)piperazin-1-yl)methylcarbonyl}indol-3-yl,  
6-[[4-methylhomopiperazin-1-yl)]methyl}carbonylindol-3-yl,  
6-[(4-piperidinopiperidin-1-yl)methylcarbonyl]indol-3-yl,  
6-[[3-(isopropoxy)propyl]aminomethylcarbonyl}indol-3-yl,  
6-[[3,3-bis(ethyloxy)propyl]aminomethylcarbonyl}indol-3-yl,  
6-[(2,2-dimethyl-1,3-dioxolane-4-methane)aminomethylcarbonyl]indol-3-yl,  
6-{3-[(2-methoxyethyl)oxypropyl]aminomethylcarbonyl}indol-3-yl,  
6-[[3-(ethyloxy)propyl]aminomethylcarbonyl}indol-3-yl,  
6-[3-(butyloxy)propyl]aminomethylcarbonyl]indol-3-yl, or  
6-[(3-methoxypropyl)aminomethylcarbonyl]indol-3-yl.

36. A pharmaceutical composition comprising a compound of Claim 16 or a physiologically acceptable salt thereof and a pharmaceutically acceptable diluent or carrier.

37. The compound which is 2-(1-(4-acetoxybutyl)-7-azaindol-3-yl)methylene-2H-1,4-benzothiazin-3(4H)-one, or a physiologically acceptable salt thereof.

38. A pharmaceutical composition comprising the compound of claim 27, or a physiological salt thereof, and a pharmaceutically acceptable diluent or carrier.